

## PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

## (PCT Article 36 and Rule 70)

Applicant's or agent's file reference 100870-1 WO	<b>FOR FURTHER ACTION</b>		See Form PCT/IPEA/416
International application No. PCT/GB2004/001119	International filing date (day/month/year) 16.03.2004	Priority date (day/month/year) 20.03.2003	
International Patent Classification (IPC) or national classification and IPC C07D413/14			
Applicant ASTRAZENECA AB et al.			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of sheets, as follows:</p> <ul style="list-style-type: none"> <li><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</li> <li><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</li> </ul> <p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)), containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <li><input checked="" type="checkbox"/> Box No. I Basis of the opinion</li> <li><input type="checkbox"/> Box No. II Priority</li> <li><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li><input type="checkbox"/> Box No. IV Lack of unity of invention</li> <li><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li><input type="checkbox"/> Box No. VI Certain documents cited</li> <li><input type="checkbox"/> Box No. VII Certain defects in the international application</li> <li><input type="checkbox"/> Box No. VIII Certain observations on the international application</li> </ul>			
Date of submission of the demand  22.09.2004	Date of completion of this report  01.02.2005		
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Von Daacke, A Telephone No. +49 89 2399-8286		



## **INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**

International application No.  
PCT/GB2004/001119

### **Box No. I Basis of the report**

- With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
    - This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:
      - international search (under Rules 12.3 and 23.1(b))
      - publication of the international application (under Rule 12.4)
      - international preliminary examination (under Rules 55.2 and/or 55.3)
  - With regard to the **elements\*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

**Description, Pages**

1-55 as originally filed

## **Claims, Numbers**

1-13 as originally filed

- a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3.  The amendments have resulted in the cancellation of:

  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):

4.  This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
  - the entire international application,
  - claims Nos. 8, 9-12 (part)  
because:
    - the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):
    - the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (specify):
    - the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
  - no international search report has been established for the said claims Nos. 8, 9-12 (part)
  - the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form	<input type="checkbox"/> has not been furnished
	<input type="checkbox"/> does not comply with the standard
the computer readable form	<input type="checkbox"/> has not been furnished
	<input type="checkbox"/> does not comply with the standard
  - the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.
  - See separate sheet for further details

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes:	Claims	1-7,9-13
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-7,9-13
Industrial applicability (IA)	Yes:	Claims	1-7,10-13
	No:	Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

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**III NON-ESTABLISHMENT**

Claim 9 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

Due to the lack of any structural information (Art. 5 PCT) concerning the term 'prodrug' as used in Claims 8 and partially 9-12, this part of the claims is not searched.

**V REASONED STATEMENT**

**1. PRIOR ART**

The documents cited in the International Search Report

- D1: WO 01/81350 A (ASTRAZENECA UK LTD ; BETTS MICHAEL JOHN (GB); GRIFFIN DAVID ALAN (GB);) 1 November 2001 (2001-11-01)  
D2: WO 02/081470 A (SWAIN MICHAEL LINGARD ; ASTRAZENECA UK LTD (GB); BETTS MICHAEL JOHN (G) 17 October 2002 (2002-10-17)  
D3: EP-A-0 352 781 (DU PONT) 31 January 1990 (1990-01-31)  
D4: WO 99/64416 A (ZENECA LTD ; GRAVESTOCK MICHAEL BARRY (GB))  
16 December 1999 (1999-12-16)

have been considered for the examination procedure.

**2. NOVELTY**

The claimed subject-matter is considered to be novel (Article 33(2) PCT). The essential structural feature between the claimed compounds and those of D1 relates in the specified linkage of the various T groups. Thus, the compounds according to Claim 1 are considered as a novel selection of those of D1.

**3. INVENTIVE STEP**

The claimed subject-matter does not fulfil the requirements of Article 33(3) PCT for the following reasons.

The closest state of the art for the present application is represented by D1 and

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furthermore D2-D4. D1 discloses structurally similar compounds having antibacterial properties which do not fall under the present application because of the non-specified position of the T groups, only. In the present application, such a structural specification is alleged to lead to oxazolidinone derivatives with the same qualitative activity/properties as those described in D1.

The problem underlying the present application can thus not be seen in the provision of further novel imidazolidinone derivatives, because the proposed solution would be seen as obvious.

Therefore, the problem underlying the present application should be seen in the provision of new oxazolidinone derivatives having unexpected properties over those of the closest prior art compounds (D1). In the absence of comparative test results or other appropriate information it is not possible to decide whether such a problem has been solved or not. In the case where comparative tests are envisaged in order to support an inventive step, these must be carried out between the compounds of the present application having the maximum structural similarity with the compounds of the closest prior art, such that the effect is shown to have its origins in the distinguishing feature of the claimed invention.

Alternatively, the claimed compounds are obvious in view of D2 and D3 or D4. Also these documents relate to antibacterially active oxazolidinone derivatives. D2 discloses the N terminus of the present compounds and D3 as well as D4 the C terminus (termini of the oxazolidinone core). Thus, a skilled person would have arrived at the present compounds without any inventive ingenuity, i.e. by combining the teachings of D2 and D3 or D4 with the expectation of providing new antiacterially active oxazolidinone derivatives.

Therefore, the problem underlying the present application may alternatively be seen in the provision of new oxazolidinone derivatives having unexpected properties over those of the closest prior art compounds (D2,D3 or D4). In the absence of comparative test results or other appropriate information it is not possible to decide whether such a problem has been solved or not. In the case where comparative tests are envisaged in order to support an inventive step, these must be carried out between the compounds of the present application having the maximum structural similarity with the compounds of the closest prior art, such that the effect is shown to have its origins in the distinguishing feature of the claimed invention.

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**4. INDUSTRIAL APPLICABILITY**

No objection for Claims 1-7 and 10-13. For the assessment of the present Claim 9 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.